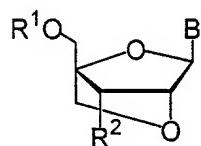


## WHAT IS CLAIMED IS:

1. A compound of formula (1) or a pharmaceutically acceptable salt thereof,



(1)

wherein,  $R^1$  is the same or different, and each represents a hydrogen atom, a protecting group for a hydroxy group in nucleic acid synthesis, a phosphoric acid group, a phosphoric acid group protected with a protecting group in nucleic acid synthesis, or a group represented by the formula  $-P(R^{4a})R^{4b}$ , wherein  $R^{4a}$  and  $R^{4b}$  are the same or different and each represents a hydroxy group, a hydroxy group protected with a protecting group in nucleic acid synthesis, a mercapto group, a mercapto group protected with a protecting group in nucleic acid synthesis, an amino group, an amino group protected with a protecting group in nucleic acid synthesis, an alkoxy group having 1-6 carbon atoms, an alkylthio group having 1-6 carbon atoms, a cyanoalkoxy group having 1-7 carbon atoms, or an amino group substituted by an alkyl group having 1-6 carbon atoms,

$R^2$  represents an azido group, an amino group, or a group represented by the formula  $-NH-R^3$ , wherein  $R^3$  is the same or different and each represents a protecting group for an amino group in nucleic acid synthesis, a phosphoric acid group, a phosphoric acid group protected with a protecting group in nucleic acid synthesis, or a group represented by the formula  $-P(R^{4a})R^{4b}$ , wherein  $R^{4a}$  and  $R^{4b}$  is the same or different and each represents a hydroxy group, a hydroxy group protected with a protecting group in nucleic acid synthesis, a mercapto group, a mercapto group protected with a protecting group in nucleic acid synthesis, an amino group, an amino group protected with a protecting group in nucleic acid

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synthesis , an alkoxy group having 1-6 carbon atoms, an alkylthio group having 1-6 carbon atoms, a cyanoalkoxy group having 1-7 carbon atoms or an amino group substituted by an alkyl group having 1-6 carbon atoms,

B represents a purin-9-yl group or a 2-oxo-1,2-dihydropyrimidin-1-yl group each of which is optionally substituted with 1 or more substituents selected from the group consisting of

a hydroxy group,

a hydroxy group protected with a protecting group in nucleic acid synthesis,

an alkoxy group having 1-6 carbon atoms,

a mercapto group,

a mercapto group protected with a protecting group in nucleic acid synthesis,

an alkylthio group having 1-6 carbon atoms,

an amino group,

an amino group protected with a protecting group in nucleic acid synthesis,

an amino group substituted by an alkyl group having 1-6 carbon atoms,

an alkyl group having 1-6 carbon atoms, and halogen atom.

2. The compound according to claim 1, wherein R<sup>1</sup> represents a hydrogen atom, an aliphatic acyl group, an aromatic acyl group, a silyl group, a methyl group substituted by 1 to 3 aryl groups, or a methyl group substituted by 1 to 3 aryl groups wherein the aryl rings are substituted by a lower-alkyl group, lower-alkoxy group, halogen atom or a cyano group.

3. The compound according to claim 1, wherein R<sup>1</sup> represents a hydrogen atom, a silyl group, a methyl group substituted by 1 to 3 aryl groups, or a methyl group substituted by 1 to 3 aryl groups wherein the aryl rings are substituted by a lower-alkyl group, lower-alkoxy group, halogen atom or cyano group.

4. The compound according to claim 1, wherein R<sup>1</sup> represents a hydrogen atom, trimethylsilyl group, t-butyldimethylsilyl group, t-butyldiphenylsilyl group, benzyl group, triphenylmethyl group, 4-methoxybenzyl group, 4-methoxyphenyldiphenylmethyl group, a 4,4'-dimethoxytriphenylmethyl group, or 4,4',4''-trimethoxytriphenylmethyl group.

5. The compound according to claim 1, wherein R<sup>2</sup> represents an azido group, an amino group, or a group represented by the formula -NH-R<sup>3</sup>, wherein R<sup>3</sup> represents an aliphatic acyl group, an aromatic acyl group, a methyl group substituted by 1 to 3 aryl groups, a methyl group substituted by 1 to 3 aryl groups wherein the aryl rings are substituted by lower-alkyl group, lower-alkoxy group, halogen atom, or cyano group, a silyl group, a phosphoramidite group, a phosphonyl group, a phosphoric acid group or a phosphoric acid group protected with a protecting group in nucleic acid synthesis.

6. The compound according to claim 1, wherein R<sup>2</sup> represents an azido group, an amino group, or a group represented by the formula -NH-R<sup>3</sup>, wherein R<sup>3</sup> represents an acetyl group, trifluoroacetyl group, benzoyl group, benzyl group, p-methoxybenzyl group, tert-butyldiphenylsilyl group, a group represented by the formula -P(OC<sub>2</sub>H<sub>4</sub>CN)(NCH(CH<sub>3</sub>)<sub>2</sub>), a group represented by the formula -P(OCH<sub>3</sub>)(NCH(CH<sub>3</sub>)<sub>2</sub>), a phosphonyl group, or a 2-chlorophenyl- or a 4-chlorophenylphosphonic acid group.

7. The compound according to claim 1, wherein R<sup>2</sup> represents an azido group or an amino group.

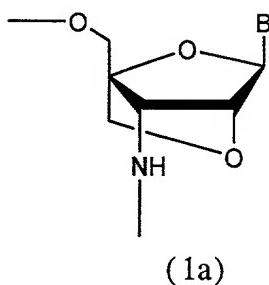
8. The compound according to claim 1, wherein B represents 6-aminopurin-9-yl, 6-amino-purin-9-yl wherein the amino group is protected with a protecting group in nucleic acid synthesis, 2,6-diaminopurin-9-yl wherein one or both amino groups are protected with a protecting group in nucleic acid synthesis, 2-amino-6-chloropurin-9-yl, 2-amino-6-chloropurin-9-yl wherein the amino group is protected with a protecting group in nucleic acid synthesis, 2-amino-6-fluoropurin-9-yl, 2-amino-6-fluoropurin-9-yl wherein the amino group is protected with a protecting group in nucleic acid synthesis, 2-amino-6-bromopurin-9-yl, 2-amino-6-bromopurin-9-yl wherein the amino group is protected with a protecting group in nucleic acid synthesis, 2-amino-6-hydroxypurin-9-yl, 2-amino-6-hydroxypurin-9-yl wherein the amino group is protected with a protecting group in nucleic acid synthesis, 6-amino-2-methoxypurin-9-yl, 6-amino-2-methoxypurin-9-yl wherein the amino group is protected with a protecting group in nucleic acid synthesis, 6-amino-2-chloropurin-9-yl, 6-amino-2-chloropurin-9-yl wherein the amino group is protected with a protecting group in nucleic acid synthesis, 6-amino-2-fluoropurin-9-yl, 6-amino-2-fluoropurin-9-yl wherein the amino group is protected with a protecting group in nucleic acid synthesis, 2,6-dimethoxypurin-9-yl, 2,6-dichloropurin-9-yl, 6-mercaptopurin-9-yl, 6-mercaptopurin-9-yl wherein the mercapto group is protected with a protecting group in nucleic acid synthesis, 2-oxo-4-amino-1,2-dihydropyrimidin-1-yl, 2-oxo-4-amino-1,2-dihydropyrimidin-1-yl wherein the amino group is protected with a protecting group in nucleic acid synthesis, 4-amino-2-oxo-5-fluoro-1,2-dihydropyrimidin-1-yl, 4-amino-2-oxo-5-fluoro-1,2-dihydropyrimidin-1-yl wherein the amino group is protected with a protecting group in nucleic acid synthesis, 4-amino-2-oxo-5-chloro-1,2-dihydropyrimidin-1-yl, 4-amino-2-oxo-5-chloro-1,2-dihydropyrimidin-1-yl wherein the amino group is protected with a protecting group in nucleic acid synthesis, 2-oxo-4-methoxy-1,2-dihydropyrimidin-1-yl, 2-oxo-4-mercato-1,2-dihydropyrimidin-1-yl, 2-oxo-4-mercato-1,2-dihydropyrimidin-1-yl wherein the mercapto

group is protected with a protecting group in nucleic acid synthesis , 2,4-dihydroxypyrimidin-1-yl,  
 2,4-dihydroxy-5-methylpyrimidin-1-yl,  
 4-amino-5-methyl-2-oxo-1,2-dihydropyrimidin-1-yl, or  
 4-amino-5-methyl-2-oxo-1,2-dihydropyrimidin-1-yl group wherein  
 the amino group is protected with a protecting group in nucleic  
 acid synthesis.

9. The compound according to claim 1, wherein B represents  
 6-benzoylaminopurin-9-yl, adeninyl,  
 2-benzoylamino-6-hydroxypurin-9-yl, guaninyl,  
 2-oxo-4-benzoylamino-1,2-dihydropyrimidin-1-yl, cytosinyl,  
 uracilyl or thyminyl.

10. The compound according to claim 1, wherein the compound is selected from the group consisting of:  
 3'-amino-3'deoxy-2'-O,4'-C-methylene-5-methyluridine,  
 3'-azido-3'deoxy-2'-O,4'-C-methylene-5-methyluridine,  
 3'-azido-5'-O-tert-butyldiphenylsilyl-3'-deoxy-2'-O,4'-C-methylene-5-methyluridine,  
 3'-azido-3'deoxy-5'-O-(4,4'-dimethoxytrityl)-2'-O,4'-C-methylene-5-methyluridine and  
 3'-amino-3'-deoxy-5'-O-(4,4'-dimethoxytrityl)-2'-O,4'-C-methylene-5-methyluridine.

11. An oligonucleotide analogue or a pharmaceutically acceptable salt thereof having 1 or more structural units represented by the following formula (1a),



provided that when the oligonucleotide has two or more structural units of formula (1a), each B is the same or different,

wherein B represents a purin-9-yl group or a 2-oxo-1,2-dihydropyrimidin-1-yl group which are optionally substituted with a substituent selected from the group consisting of:

a hydroxy group,  
a hydroxy group protected with a protecting group in nucleic acid synthesis ,  
an alkoxy group having 1-6 carbon atoms,  
a mercapto group,  
a mercapto group protected with a protecting group in nucleic acid synthesis,  
an alkylthio group having 1-6 carbon atoms,  
an amino group,  
an amino group protected with a protecting group in nucleic acid synthesis,  
an amino group substituted by an alkyl group having 1-6 carbon atoms,  
an alkyl group having 1-6 carbon atoms, and  
a halogen atom.

12. The oligonucleotide analogue or a pharmaceutically acceptable salt thereof according to claim 11, wherein B represents 6-aminopurin-9-yl, 6-aminopurin-9-yl wherein the amino group is protected with a protecting group in nucleic acid synthesis , 2,6-diaminopurin-9-yl, 2-amino-6-chloropurin-9-yl, 2-amino-6-chloropurin-9-yl wherein the amino group is protected with a protecting group in nucleic acid synthesis, 2-amino-6-fluoropurin-9-yl, 2-amino-6-fluoropurin-9-yl wherein the amino group is protected with a protecting group in nucleic acid synthesis , 2-amino-6-bromopurin-9-yl, 2-amino-6-bromopurin-9-yl wherein the amino group is protected with a protecting group in nucleic acid synthesis , 2-amino-6-hydroxypurin-9-yl, 2-amino-6-hydroxypurin-9-yl wherein the amino and hydroxyl groups are protected with a protecting group in nucleic acid synthesis , 6-amino-2-methoxypurin-9-yl, 6-amino-2-chloropurin-9-yl,

6-amino-2-fluoropurin-9-yl, 2,6-dimethoxypurin-9-yl,  
2,6-dichloropurin-9-yl, 6-mercaptopurin-9-yl,  
2-oxo-4-amino-1,2-dihydropyrimidin-1-yl,  
2-oxo-4-amino-1,2-dihydropyrimidin-1-yl wherein the amino group  
is protected with a protecting group in nucleic acid synthesis,  
2-oxo-4-amino-5-fluoro-1,2-dihydropyrimidin-1-yl,  
4-amino-2-oxo-5-fluoro-1,2-dihydropyrimidin-1-yl wherein the  
amino group is protected with a protecting group in nucleic acid  
synthesis, 4-amino-2-oxo-5-chloro-1,2-dihydropyrimidin-1-yl,  
2-oxo-4-methoxy-1,2-dihydropyrimidin-1-yl,  
2-oxo-4-mercaptopurin-1,2-dihydropyrimidin-1-yl,  
2-oxo-4-hydroxy-1,2-dihydropyrimidin-1-yl,  
2-oxo-4-hydroxy-5-methyl-1,2-dihydropyrimidin-1-yl,  
4-amino-5-methyl-2-oxo-1,2-dihydropyrimidin-1-yl,  
5-methylcytosinyl), or  
4-amino-5-methyl-2-oxo-1,2-dihydropyrimidin-1-yl wherein the  
amino group is protected with a protecting group in nucleic acid  
synthesis.

13. The oligonucleotide analogue or a pharmaceutically acceptable salt thereof according to claim 11, wherein B represents 6-benzoylaminopurin-9-yl, adeninyl,  
2-isobutylamino-6-hydroxypurin-9-yl, guaninyl,  
2-oxo-4-benzoylamino-1,2-dihydropyrimidin-1-yl, cytosinyl,  
2-oxo-5-methyl-4-benzoylamino-1,2-dihydropyrimidin-1-yl,  
5-methylcytosinyl, uracinyl or thyminyl.

14. A pharmaceutical composition comprising a pharmaceutically effective amount of a pharmacologically active compound together with a carrier therefore, wherein said pharmacologically active compound is an oligonucleotide analogue comprising two or more nucleoside units, wherein at least one of said nucleoside units is a structure of the formula (1a) of claim 11, or a pharmaceutically acceptable salt of said compound.

15. A method for the prevention or treatment in a mammal of a disease preventable or treatable by the pharmacologically useful antisense activity of an oligonucleotide analogue or a pharmacologically acceptable salt thereof in the body of said mammal, which method comprises administering to said mammal in need of such prevention or treatment a pharmaceutically effective amount of an oligonucleotide analogue comprising two or more nucleoside units, wherein at least one of said nucleoside units is a structure of the formula (1a) of claim 11.

16. The method according to claim 15, wherein the mammal is a human.

17. A method for the prevention or treatment in a mammal of a disease preventable or treatable by the pharmacologically useful antigenic activity of an oligonucleotide analogue or a pharmacologically acceptable salt thereof in the body of said mammal, which method comprises administering to said mammal in need of such prevention or treatment a pharmaceutically effective amount of an oligonucleotide analogue comprising two or more nucleoside units, wherein at least one of said nucleoside units is a structure of the formula (1a) of claim 11.

18. The method according to claim 17, wherein the mammal is a human.

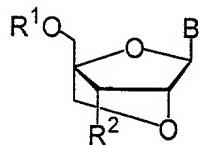
19. In an antisense oligonucleotide comprising two to one hundred nucleoside units, the improvement comprising at least one of said nucleoside units having a structure of the formula (1a) of claim 11.

20. In a probe for a gene comprising an oligonucleotide analogue, the improvement comprising the oligonucleotide analogue comprising two or more nucleoside units, wherein one of said units is a unit of the formula (1a) of claim 11.

21. In a primer for starting amplification comprising an oligonucleotide analogue, the improvement comprising the oligonucleotide analogue comprising two or more nucleoside units, wherein one of said units is a unit of the formula (1a) of claim 11.

22. In an antisense oligonucleotide comprising two to one hundred nucleoside units, the improvement comprising at least one of said units being a unit of the formula (1a) of claim 11.

23. A compound of the formula (1):



(1)

wherein R<sup>1</sup> represents a hydrogen atom or a protecting group for a hydroxy group;

R<sup>2</sup> represents an azido group or an amino group that optionally is protected; and

B represents a purin-9-yl group or a pyrimidin-1-yl group, each of which optionally is substituted with 1 or more substituents selected from the group consisting of

a halogen atom

an alkoxy group having from 1 to 6 carbon atoms,

a hydroxyl group which may be protected,

a mercapto group which may be protected,

an amino group which may be protected,

an alkoxy group having from 1 to 6 carbon atoms,

a mono-alkylamino group, the alkyl group of which having 1 to 6 carbon atoms and a di-alkylamino group, the alkyl group of which has from 1 to 6 carbon atoms.

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